

Title (en)
Imidazo[1,2-a]azine and their use as pharmaceuticals

Title (de)
Imidazo[1,2-a]azine und deren pharmazeutische Verwendung

Title (fr)
Imidazo[1,2-a]azine et son utilisation en tant que produit pharmaceutique

Publication
EP 1964841 A1 20080903 (EN)

Application
EP 07004119 A 20070228

Priority
EP 07004119 A 20070228

Abstract (en)
Imidazo[1,2-a]azines and their use as pharmaceuticals The present invention relates to derivatives of imidazo[1,2-a]azines of the formula (I), in which X, R, R1 to R3 and n have the meanings indicated in the claims, which modulate the transcription of endothelial nitric oxide (NO) synthase and are valuable pharmacologically active compounds. Specifically, the compounds of the formula I upregulate the expression of the enzyme endothelial NO synthase and can be applied in conditions in which an increased expression of said enzyme or an increased NO level or the normalization of a decreased NO level is desired. The invention further relates to processes for the preparation of compounds of the formula I, to pharmaceutical compositions comprising them, and to the use of compounds of the formula I for the manufacture of a medicament for the stimulation of the expression of endothelial NO synthase or for the treatment of various diseases including cardiovascular disorders such as atherosclerosis, thrombosis, coronary artery disease, hypertension and cardiac insufficiency, for example.

IPC 8 full level
C07D 487/04 (2006.01); **A61K 31/4188** (2006.01); **A61K 31/4985** (2006.01); **A61K 31/5025** (2006.01); **A61K 31/519** (2006.01); **A61P 1/16** (2006.01); **A61P 3/10** (2006.01); **A61P 3/14** (2006.01); **A61P 7/02** (2006.01); **A61P 9/04** (2006.01); **A61P 9/06** (2006.01); **A61P 9/10** (2006.01); **A61P 9/12** (2006.01); **A61P 11/06** (2006.01); **A61P 13/12** (2006.01); **A61P 19/10** (2006.01); **A61P 43/00** (2006.01)

CPC (source: EP US)
A61K 31/4188 (2013.01 - EP US); **A61K 31/4985** (2013.01 - EP US); **A61K 31/5025** (2013.01 - EP US); **A61K 31/519** (2013.01 - EP US); **A61P 1/16** (2017.12 - EP); **A61P 3/10** (2017.12 - EP); **A61P 3/14** (2017.12 - EP); **A61P 7/02** (2017.12 - EP); **A61P 9/00** (2017.12 - EP); **A61P 9/04** (2017.12 - EP); **A61P 9/06** (2017.12 - EP); **A61P 9/10** (2017.12 - EP); **A61P 9/12** (2017.12 - EP); **A61P 11/06** (2017.12 - EP); **A61P 13/12** (2017.12 - EP); **A61P 15/00** (2017.12 - EP); **A61P 15/10** (2017.12 - EP); **A61P 15/12** (2017.12 - EP); **A61P 19/10** (2017.12 - EP); **A61P 25/28** (2017.12 - EP); **A61P 43/00** (2017.12 - EP); **C07D 487/04** (2013.01 - EP US)

Citation (search report)

- [DXY] US 4716169 A 19871229 - HEIDER JOACHIM [DE], et al
- [E] WO 2007034282 A2 20070329 - PFIZER PROD INC [US], et al
- [X] BARRACLOUGH P ET AL: "INOTROPIC 2 ARYLIMIDAZOL-1 2-A-PYRIMIDINES", EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY, vol. 27, no. 3, 1992, pages 207 - 217, XP002149937, ISSN: 0223-5234
- [DXY] WANG ET AL: "6-Chloro-2-(4-fluorophenyl)imidazo 1,2-b pyridazine", ACTA CRYSTALLOGRAPHICA, SECTION E: STRUCTURE REPORTS ONLINE, XX, XX, vol. e61, no. 12, 2005, pages 4030 - 4031, XP009087094, ISSN: 1600-5368
- [DY] KATSIFIS ET AL: "Synthesis of 123 Iodine labelled imidazo1,2-b pyridazines as potential probes for the study of peripheral benzodiazepine receptors using SPECT", RADIOCHIMICA ACTA, LONDON, GB, vol. 92, no. 4-6, 2004, pages 305 - 309, XP009087099
- [Y] VEENMAN ET AL: "The peripheral-type benzodiazepine receptor and the cardiovascular system. Implications for drug development", PHARMACOLOGY AND THERAPEUTICS, ELSEVIER, GB, vol. 110, no. 3, June 2006 (2006-06-01), pages 503 - 524, XP005431019, ISSN: 0163-7258
- [DYY] BARLIN ET AL: "SYNTHESES OF SOME 3-ACYLAMINOMETHYL-6-(CHLORO, FLUORO, METHOXY, METHYLTHIO, PHENOXY AND PHENYLTHIO)-2-(PHENYL,4-T-BUTYLPHENYL, 4-CYCLOHEXYLPHENYL," AUSTRALIAN JOURNAL OF CHEMISTRY, XX, XX, vol. 49, 1996, pages 451 - 461, XP009084676, ISSN: 0004-9425
- [Y] BARLIN ET AL: "Imidazo1,2-b pyridazines. XII. Syntheses and central nervous system activities of some substituted imidazo1,2-b pyridazines and related imidazo 1,2-a pyridines, imidazo 1,2-a pyrimidines and imidazo 1,2-a pyrazines", AUSTRALIAN JOURNAL OF CHEMISTRY, XX, XX, vol. 45, no. 5, 1992, pages 877 - 888, XP009087085, ISSN: 0004-9425

Cited by
AU2011348143B2; CN102791714A; US8871767B2; US8278312B2; WO2012088411A1; WO2009049731A1

Designated contracting state (EPC)
AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT LI LT LU LV MC NL PL PT RO SE SI SK TR

Designated extension state (EPC)
AL BA HR MK RS

DOCDB simple family (publication)
EP 1964841 A1 20080903; EP 2129676 A1 20091209; JP 2010519321 A 20100603; JP 5618547 B2 20141105; US 2010137321 A1 20100603; US 8044050 B2 20111025; WO 2008104279 A1 20080904

DOCDB simple family (application)
EP 07004119 A 20070228; EP 08707727 A 20080215; EP 2008001151 W 20080215; JP 2009551114 A 20080215; US 54864109 A 20090827